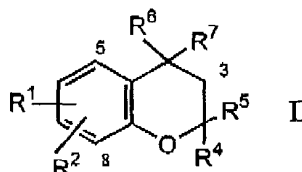


Clean copy of pending claims

4. A compound of the formula:



wherein:

$R^1$  is OH,  $O(CH_2)_{1-2}OH$ ,  $OCH_2CO_2H$ ,  $CO_2H$ ,  $O-Z-C(O)NH(CH_2)_{1-6}R^{17}$  or  $OCH_2-4-Phe-C(O)NH(CH_2)_{1-6}R^{17}$ ;

$R^2$  is H or lower alkyl;

$R^3$  is H, alkyl, aryl, or arylalkyl;

$R^4$  and  $R^5$  are each independently H, lower alkyl, or substituted lower alkyl where the substituents are 1-3 alkoxy, aryl, substituted aryl, carboxamido; or

$R^4$  and  $R^5$  taken together are  $-(CH_2)_n-$ ,  $-(CH_2)_2-O-(CH_2)_2-$ ,  $-CH_2-O-(CH_2)_3-$ ,  $-(CH_2)_2-NR^8-(CH_2)_2-$ ,  $-CH_2-NR^8-(CH_2)_m-$ ,  $-(CH_2)_2CH(NHR^8)(CH_2)_2-$ ,  $-(CH_2)_2-S(O)_{0-2}-(CH_2)_2-$ , or  $-CH_2CH(N\text{-loweralkyl})(CH_2)_2CHCH_2-$ ;

one of  $R^6$  and  $R^7$  is H and the other is OH, or  $N(CH_2)_{1-6}R^{14}R^{15}$ ; or

$R^6$  and  $R^7$  taken together are or;

$R^8$  is H,  $COOR^9$ ,  $CONHR^{10}$ ,  $CSNHR^{11}$ ,  $COR^{12}$ ,  $SO_2R^{13}$ , lower alkyl, aryl lower alkyl, heteroaryl, or heteroaryl lower alkyl, wherein aryl is optionally substituted with 1-3 substituents selected from lower alkyl, lower alkoxy, halo, CN,  $NH_2$ ,  $COOH$ ,  $CONH_2$ , and mono-lower alkylamino and wherein heteroaryl is a mono- or bicyclic heteroaromatic ring system of 5 to 10 members including 1 to 3 heteroatoms selected from O, N, and S and 0-3 substituents selected from halo, amino, cyano, lower alkyl,  $CONH_2$ , and S-lower alkyl;

$R^9$  is lower alkyl, aryl, aryl lower alkyl, heteroaryl, aryl substituted by 1-3 substituents selected from alkyl, alkenyl, alkoxy, and halo, or a 5- to 6-membered heterocyclic ring containing O or N as a heteroatom, wherein heteroaryl is a heteroaromatic ring of 5 to 6 members

including 1 to 2 heteroatoms selected from O, N, and S and 0-2 substituents selected from lower alkyl, dialkylamino, lower alkoxy, and halo;

R<sup>10</sup> and R<sup>11</sup> are each independently lower alkyl, aryl, aryl lower alkyl, or aryl substituted by 1-3 substituents selected from lower alkyl, halo, alkoxy and haloalkyl;

R<sup>12</sup> is lower alkyl, aryl, heteroaryl, aryl lower alkyl, heteroaryl lower alkyl, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N-lower alkyl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, sulfamoyl, lower alkyl sulfamoyl, cyano, and phenyl;

R<sup>13</sup> is lower alkyl, aryl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, CN, and haloalkyl;

R<sup>14</sup> is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; -CH<sub>2</sub>NR<sup>16</sup>C(O)R<sup>16</sup>; -C(O)NR<sup>16</sup>R<sup>16</sup>; -CH<sub>2</sub>OC(O)R<sup>16</sup>; or -CH<sub>2</sub>SC(O)R<sup>16</sup>;

R<sup>15</sup> is H, alkyl, -C(O)X, -C(S)X, or -C(NCN)NR<sup>3</sup>R<sup>3</sup>;

R<sup>16</sup> is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;

R<sup>17</sup> is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl; -CH<sub>2</sub>NR<sup>16</sup>C(O)R<sup>16</sup>; -C(O)NR<sup>16</sup>R<sup>16</sup>; -CH<sub>2</sub>OC(O)R<sup>16</sup>; or -CH<sub>2</sub>SC(O)R<sup>16</sup>;

X is alkyl, aryl, arylalkyl, O-loweralkyl, or -NR<sup>3</sup>R<sup>3</sup>;

Z is -(CH<sub>2</sub>)<sub>1-6</sub>-, optionally substituted with 1-3 lower alkyl; -CHR<sup>2</sup>-; -Phe-CH<sub>2</sub>-, where Phe is optionally mono-substituted with halogen, lower alkyl, or alkoxy; or heteroarylene-(CH<sub>2</sub>)<sub>n</sub>;

m is 2 or 3; and

n is 4-9;

or a pharmaceutically acceptable salt thereof.

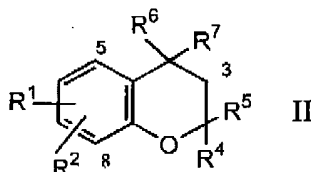
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Changes made to claim 4

4. A compound of the formula:



wherein:

$R^1$  is OH,  $O(CH_2)_{1-2}OH$ ,  $OCH_2CO_2H$ ,  $CO_2H$ ,  $O-Z-C(O)NH(CH_2)_{1-6}R^{17}$  or  $OCH_2-4-Phe-C(O)NH(CH_2)_{1-6}R^{17}$ ;

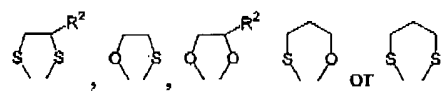
$R^2$  is H or lower alkyl;


$R^3$  is H, alkyl, aryl, or arylalkyl;

$R^4$  and  $R^5$  are each independently H, lower alkyl, or substituted lower alkyl where the substituents are 1-3 alkoxy, aryl, substituted aryl, [carboalkoxy,] carboxamido, [di-loweralkylamido] ; or

$R^4$  and  $R^5$  taken together are  $-(CH_2)_n-$ ,  $-(CH_2)_2-O-(CH_2)_2-$ ,  $-CH_2-O-(CH_2)_3-$ ,  $-(CH_2)_2-NR^8-(CH_2)_2-$ ,  $-CH_2-NR^8-(CH_2)_m-$ ,  $-(CH_2)_2CH(NHR^8)(CH_2)_2-$ ,  $-(CH_2)_2-S(O)_{0.2}-(CH_2)_2-$ , or  $-CH_2CH(N\text{-loweralkyl})(CH_2)_2CHCH_2-$ ;

one of  $R^6$  and  $R^7$  is H and the other is [H,] OH, or  $N(CH_2)_{1-6}R^{14}R^{15}$ ; or

$R^6$  and  $R^7$  taken together are , [with the proviso that when  $R^1$

is-OH and  $R^2$  is -H,  $R^6$  and  $R^7$  are not -H and -OH or when taken together are not ];

$R^8$  is H,  $COOR^9$ ,  $CONHR^{10}$ ,  $CSNHR^{11}$ ,  $COR^{12}$ ,  $SO_2R^{13}$ , lower alkyl, aryl lower alkyl, heteroaryl, or heteroaryl lower alkyl, wherein aryl is optionally substituted with 1-3 substituents selected from lower alkyl, lower alkoxy, halo, CN,  $NH_2$ ,  $COOH$ ,  $CONH_2$ , [carboalkoxy] and mono- [or di-] lower alkylamino and wherein heteroaryl is a mono- or bicyclic heteroaromatic ring system of 5 to 10 members including 1 to 3 heteroatoms selected from O, N, and S and 0-3 substituents selected from halo, amino, cyano, lower alkyl,  $CONH_2$ , and S-lower alkyl;

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- $R^9$  is lower alkyl, aryl, aryl lower alkyl, heteroaryl, aryl substituted by 1-3 substituents selected from alkyl, alkenyl, alkoxy, and halo, or a 5- to 6-membered heterocyclic ring containing O or N as a heteroatom, wherein heteroaryl is a heteroaromatic ring of 5 to 6 members including 1 to 2 heteroatoms selected from O, N, and S and 0-2 substituents selected from lower alkyl, dialkylamino, lower alkoxy, and halo;
- $R^{10}$  and  $R^{11}$  are each independently lower alkyl, aryl, aryl lower alkyl, or aryl substituted by 1-3 substituents selected from lower alkyl, halo, alkoxy and haloalkyl;
- $R^{12}$  is lower alkyl, aryl, heteroaryl, aryl lower alkyl, heteroaryl lower alkyl, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N, a 5- or 6-membered heterocyclic ring containing 1-2 heteroatoms selected from O, S, and N-lower alkyl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, sulfamoyl, lower alkyl sulfamoyl, cyano, and phenyl;
- $R^{13}$  is lower alkyl, aryl, or aryl substituted with 1-3 substituents selected from lower alkyl, alkoxy, halo, CN, and haloalkyl;
- $R^{14}$  is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl;  $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$ ;  $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$ ; or  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;
- $R^{15}$  is H, alkyl,  $-\text{C}(\text{O})\text{X}$ ,  $-\text{C}(\text{S})\text{X}$ , or  $-\text{C}(\text{NCN})\text{NR}^3\text{R}^3$ ;
- $R^{16}$  is lower alkyl, substituted lower alkyl, aryl, or substituted aryl;
- $R^{17}$  is H; alkyl; alkyl substituted by 1-3 alkoxy, S-lower alkyl, sulfamoyl, halo, alkylsulphonamido, or arylsulphonamido; alkenyl; alkynyl; aryl; substituted aryl; heteroaryl; substituted heteroaryl; heterocycloalkyl;  $-\text{CH}_2\text{NR}^{16}\text{C}(\text{O})\text{R}^{16}$ ;  $-\text{C}(\text{O})\text{NR}^{16}\text{R}^{16}$ ;  $-\text{CH}_2\text{OC}(\text{O})\text{R}^{16}$ ; or  $-\text{CH}_2\text{SC}(\text{O})\text{R}^{16}$ ;
- X is alkyl, aryl, arylalkyl, O-loweralkyl, or  $-\text{NR}^3\text{R}^3$ ;
- Z is  $-(\text{CH}_2)_{1-6}$ , optionally substituted with 1-3 lower alkyl;  $-\text{CHR}^2$ ;  $-\text{Phe-CH}_2-$ , where Phe is optionally mono-substituted with halogen, lower alkyl, or alkoxy; or heteroarylene- $(\text{CH}_2)-$ ;
- m is 2 or 3; and
- n is 4-9;

or a pharmaceutically acceptable salt thereof.

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